

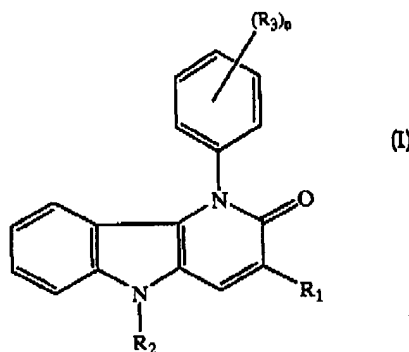
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U.S.S.N. 10/535,007

**Listing of Claims:**

The following listing of claims will replace all prior versions, and listings, of claims in the application:

1. (currently amended) A compound of formula (I)



~~its N-oxide, salt or its pharmaceutically acceptable salts, stereoisomeric form, racemic mixture, prodrug, ester or metabolite, wherein~~

n is 1, 2 or 3;

R<sub>1</sub> is hydrogen, cyano, halo, aminocarbonyl, hydroxycarbonyl, C<sub>1-4</sub>alkyloxycarbonyl,

C<sub>1-4</sub>alkylcarbonyl, mono- or di(C<sub>1-4</sub>alkyl)aminocarbonyl, arylaminocarbonyl,

N-(aryl)-N-(C<sub>1-4</sub>alkyl)aminocarbonyl, methanimidamidyl, N-hydroxy-methanimidamidyl, or mono- or di(C<sub>1-4</sub>alkyl)methanimidamidyl, or Het<sub>1</sub> or Het<sub>2</sub>;

R<sub>2</sub> is hydrogen, C<sub>1-10</sub>alkyl, C<sub>2-10</sub>alkenyl, C<sub>3-7</sub>cycloalkyl, wherein said C<sub>1-10</sub>alkyl, C<sub>2-10</sub>alkenyl and C<sub>3-7</sub>cycloalkyl, each individually and independently, may be optionally substituted with a substituent selected from the group consisting of cyano, NR<sub>4a</sub>R<sub>4b</sub>, pyrrolidinyl, piperidinyl, homopiperidinyl, piperazinyl, 4-(C<sub>1-4</sub>alkyl)-piperazinyl, morpholinyl, thiomorpholinyl, 1-oxothiomorpholinyl, 1,1-dioxo-thiomorpholinyl, aryl, furanyl, thienyl, pyrrolyl, oxazolyl, thiazolyl, imidazolyl, isoxazolyl, isothiazolyl, pyrazolyl, oxadiazolyl, thiadiazolyl, triazolyl, tetrazolyl, pyridyl, pyrimidinyl, pyrazinyl, pyridazinyl, triazinyl, hydroxycarbonyl, C<sub>1-4</sub>alkylcarbonyl, N(R<sub>4a</sub>R<sub>4b</sub>)carbonyl, C<sub>1-4</sub>alkyloxycarbonyl, pyrrolidin-1-ylcarbonyl, piperidin-1-ylcarbonyl, homopiperidin-1-ylcarbonyl, piperazin-1-ylcarbonyl, 4-(C<sub>1-4</sub>alkyl)-piperazin-1-ylcarbonyl, morpholin-1-ylcarbonyl, thiomorpholin-1-ylcarbonyl, 1-oxothiomorpholin-1-ylcarbonyl and 1,1-dioxo-thiomorpholin-1-ylcarbonyl;

$R_3$  is nitro, cyano, amino, halo, hydroxy,  $C_{1-4}$ alkyloxy, hydroxycarbonyl, aminocarbonyl,  $C_{1-4}$ alkyloxycarbonyl, mono- or di( $C_{1-4}$ alkyl)aminocarbonyl,  $C_{1-4}$ alkylcarbonyl, methanimidamidyl, mono- or di( $C_{1-4}$ alkyl)methanimidamidyl, *N*-hydroxy-methanimidamidyl or Het<sub>1</sub>;

$R_{4a}$  is hydrogen,  $C_{1-4}$ alkyl or  $C_{1-4}$ alkyl substituted with a substituent selected from the group consisting of amino, mono- or di( $C_{1-4}$ alkyl)amino, pyrrolidinyl, piperidinyl, homopiperidinyl, piperazinyl, 4-( $C_{1-4}$ alkyl)-piperazinyl, morpholinyl, thiomorpholinyl, 1-oxothiomorpholinyl and 1,1-dioxo-thiomorpholinyl;

$R_{4b}$  is hydrogen,  $C_{1-4}$ alkyl or  $C_{1-4}$ alkyl substituted with a substituent selected from the group consisting of amino, mono- or di( $C_{1-4}$ alkyl)amino, pyrrolidinyl, piperidinyl, homopiperidinyl, piperazinyl, 4-( $C_{1-4}$ alkyl)-piperazinyl, morpholinyl, thiomorpholinyl, 1-oxothiomorpholinyl and 1,1-dioxo-thiomorpholinyl;

aryl is phenyl optionally substituted with one or more substituents each individually selected from the group consisting of  $C_{1-6}$ alkyl,  $C_{1-4}$ alkoxy, halo, hydroxy, amino, trifluoromethyl, cyano, nitro, hydroxy $C_{1-6}$ alkyl, cyano $C_{1-6}$ alkyl, mono- or di( $C_{1-4}$ alkyl)amino, amino $C_{1-4}$ alkyl, mono- or di( $C_{1-4}$ alkyl)amino $C_{1-4}$ alkyl;

Het<sub>1</sub> is a 5-membered ring system wherein one, two, three or four ring members are heteroatoms each individually and independently selected from the group consisting of nitrogen, oxygen and sulfur, and wherein the remaining ring members are carbon atoms; and, where possible, any nitrogen ring member may optionally be substituted with  $C_{1-4}$ alkyl; any ring carbon atom may, each individually and independently, optionally be substituted with a substituent selected from the group consisting of  $C_{1-4}$ alkyl,  $C_{2-6}$ alkenyl,  $C_{3-7}$ cycloalkyl, hydroxy,  $C_{1-4}$ alkoxy, halo, amino, cyano, trifluoromethyl, hydroxy $C_{1-4}$ alkyl, cyano $C_{1-4}$ alkyl, mono- or di( $C_{1-4}$ alkyl)amino, amino $C_{1-4}$ alkyl, mono- or di( $C_{1-4}$ alkyl)amino $C_{1-4}$ alkyl, aryl $C_{1-4}$ alkyl, amino $C_{2-6}$ alkenyl, mono- or di( $C_{1-4}$ alkyl)amino $C_{2-6}$ alkenyl, furanyl, thienyl, pyrrolyl, oxazolyl, thiazolyl, imidazolyl, isoxazolyl, isothiazolyl, pyrazolyl, oxadiazolyl, thiadiazolyl, triazolyl, tetrazolyl, aryl, hydroxycarbonyl, aminocarbonyl,  $C_{1-4}$ alkyloxycarbonyl, mono- or di( $C_{1-4}$ alkyl)aminocarbonyl,  $C_{1-4}$ alkylcarbonyl, oxo, thio; and wherein any of the foregoing furanyl, thienyl, pyrrolyl, oxazolyl, thiazolyl, imidazolyl, isoxazolyl, isothiazolyl, pyrazolyl, oxadiazolyl, thiadiazolyl and triazolyl moieties may optionally be substituted with  $C_{1-4}$ alkyl;

~~Het<sub>2</sub> is pyridyl, pyrimidinyl, pyrazinyl, pyridazinyl or triazinyl, wherein any ring carbon atom of each of said 6-membered nitrogen-containing aromatic rings may optionally be substituted with a substituent selected from the group consisting of  $C_{1-4}$ alkyl;~~

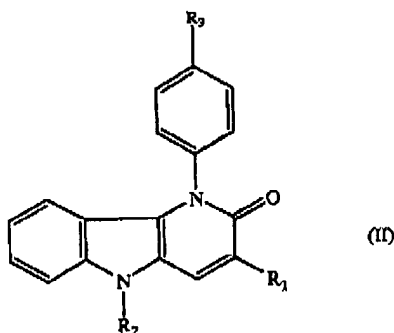
provided that the compound of formula (I) is different from  
2,5-dihydro-1-(4-nitrophenyl)-2-oxo-1H-pyrido[3,2-b]indole-3-carbonitrile, and  
2,5-dihydro-5-methyl-1-(4-nitrophenyl)-2-oxo-1H-pyrido[3,2-b]indole-3-carbonitrile.

2. (Original) A compound according to claim 1 wherein n is 1, R<sub>3</sub> is nitro, R<sub>1</sub> is cyano, C<sub>1-4</sub>alkyloxycarbonyl or C<sub>1-4</sub>alkylaminocarbonyl; and R<sub>2</sub> is hydrogen or C<sub>1-6</sub>alkyl.
3. (previously presented) A compound according to claim 1 wherein n is 1 or 2;  
R<sub>3</sub> is nitro, cyano, amino, halo, hydroxy, C<sub>1-4</sub>alkyloxy, hydroxycarbonyl, aminocarbonyl, aminothiocarbonyl, C<sub>1-4</sub>alkyloxycarbonyl, C<sub>1-4</sub>alkylcarbonyl, mono- or di(C<sub>1-4</sub>alkyl)methanimidamidyl, N-hydroxy-methanimidamidyl or Het<sub>1</sub>.
4. (currently amended) A compound according to claim 1 wherein R<sub>1</sub> is hydrogen, cyano, halo, aminocarbonyl, hydroxycarbonyl, C<sub>1-4</sub>alkyloxycarbonyl, arylaminocarbonyl, N-hydroxy-methanimidamidyl, mono- or di(C<sub>1-4</sub>alkyl)methanimidamidyl, or Het<sub>1</sub>-or-Het<sub>2</sub>; and  
aryl is phenyl optionally substituted with one or more substituents each individually selected from the group consisting of C<sub>1-6</sub>alkyl, C<sub>1-4</sub>alkoxy, cyano, nitro; and  
Het<sub>1</sub> is a 5-membered ring system wherein one, two, three or four ring members are heteroatoms each individually and independently selected from the group consisting of nitrogen, oxygen and sulfur, and wherein the remaining ring members are carbon atoms; and, where possible, any nitrogen ring member may optionally be substituted with C<sub>1-4</sub>alkyl; any ring carbon atom may, each individually and independently, optionally be substituted with a substituent selected from the group consisting of C<sub>1-4</sub>alkyl, C<sub>3-7</sub>cycloalkyl, halo, cyano, trifluoromethyl, cyanoC<sub>1-4</sub>alkyl, mono- or di(C<sub>1-4</sub>alkyl)amino, mono- or di(C<sub>1-4</sub>alkyl)aminoC<sub>2-6</sub>alkenyl, isoxazolyl, aryl, hydroxycarbonyl, C<sub>1-4</sub>alkyloxycarbonyl, oxo, thio; and wherein the foregoing isoxazolyl may optionally be substituted with C<sub>1-4</sub>alkyl; and  
~~Het<sub>2</sub> is pyridyl.~~

5. (Previously presented) A compound according to claim 1 wherein  $R_2$  is hydrogen,  $C_{1-10}$ alkyl,  $C_{2-10}$ alkenyl,  $C_{3-7}$ cycloalkyl or  $C_{1-10}$ alkyl substituted with substituent selected from the group consisting of cyano,  $NR_{4a}R_{4b}$ , pyrrolidinyl, piperidinyl, 4-( $C_{1-4}$ alkyl)-piperazinyl, morpholinyl, aryl, imidazolyl, pyridyl, hydroxycarbonyl,  $N(R_{4a}R_{4b})$ carbonyl,  $C_{1-4}$ alkyloxycarbonyl or 4-( $C_{1-4}$ alkyl)-piperazin-1-ylcarbonyl; and  $R_{4a}$  is  $C_{1-4}$ alkyl; and  $R_{4b}$  is  $C_{1-4}$ alkyl or  $C_{1-4}$ alkyl substituted morpholinyl.
6. (currently amended) A compound according to claim 1 wherein  $R_2$  is hydrogen,  $C_{1-10}$ alkyl,  $C_{2-10}$ alkenyl,  $C_{3-7}$ cycloalkyl or  $C_{1-10}$ alkyl substituted with substituent selected from the group consisting of cyano,  $NR_{4a}R_{4b}$ , pyrrolidinyl, piperidinyl, 4-( $C_{1-4}$ alkyl)-piperazinyl, morpholinyl, aryl, imidazolyl, pyridyl, hydroxycarbonyl,  $N(R_{4a}R_{4b})$ carbonyl,  $C_{1-4}$ alkyloxycarbonyl or 4-( $C_{1-4}$ alkyl)-piperazin-1-ylcarbonyl; and aryl is phenyl optionally substituted with one or more substituents each individually selected from the group consisting of  $C_{1-6}$ alkyl,  $C_{1-4}$ alkoxy, cyano, and nitro.
7. (currently amended) A compound according to claim 1 wherein  $R_2$  is hydrogen,  $C_{1-10}$ alkyl,  $C_{2-10}$ alkenyl,  $C_{3-7}$ cycloalkyl or  $C_{1-10}$ alkyl substituted with substituent selected from the group consisting of cyano,  $NR_{4a}R_{4b}$ , pyrrolidinyl, piperidinyl, 4-( $C_{1-4}$ alkyl)-piperazinyl, morpholinyl, aryl, imidazolyl, pyridyl, hydroxycarbonyl,  $N(R_{4a}R_{4b})$ carbonyl,  $C_{1-4}$ alkyloxycarbonyl or 4-( $C_{1-4}$ alkyl)-piperazin-1-ylcarbonyl; and aryl is phenyl optionally substituted with one or more substituents each individually selected from the group consisting of  $C_{1-6}$ alkyl,  $C_{1-4}$ alkoxy, cyano, and nitro; and  $R_{4a}$  is  $C_{1-4}$ alkyl; and  $R_{4b}$  is  $C_{1-4}$ alkyl or  $C_{1-4}$ alkyl substituted morpholinyl.
8. (Previously presented) A compound according to claim 1 wherein  $R_3$  is nitro, cyano, amino, halo, hydroxy,  $C_{1-4}$ alkyloxy, hydroxycarbonyl, aminocarbonyl, aminothiocarbonyl,  $C_{1-4}$ alkyloxycarbonyl,  $C_{1-4}$ alkylcarbonyl, mono- or di( $C_{1-4}$ alkyl)methanimidamidyl, *N*-hydroxy-methanimidamidyl or  $Het_1$ ; and  $Het_1$  is a 5-membered ring system wherein one, two, three or four ring members are heteroatoms each individually and independently selected from the group consisting of nitrogen, oxygen and sulfur, and wherein the remaining ring members are carbon atoms; and, where possible, any nitrogen ring member may optionally be substituted with  $C_{1-4}$ alkyl;

any ring carbon atom may, each individually and independently, optionally be substituted with a substituent selected from the group consisting of  $C_{1-4}$ alkyl,  $C_{3-7}$ cycloalkyl, halo, cyano, trifluoromethyl, cyano $C_{1-4}$ alkyl, mono- or di( $C_{1-4}$ alkyl)amino, mono- or di( $C_{1-4}$ alkyl)amino $C_{2-6}$ alkenyl, isoxazolyl, aryl, hydroxycarbonyl,  $C_{1-4}$ alkyloxycarbonyl, oxo, thio; and wherein the foregoing isoxazolyl may optionally be substituted with  $C_{1-4}$ alkyl.

9. (currently amended) A compound according to claim 1 wherein  $n$  is 1 or 2, more in particular wherein  $n$  is 1; and  $R_1$  is hydrogen, cyano, halo, aminocarbonyl, hydroxycarbonyl,  $C_{1-4}$ alkyloxycarbonyl, arylaminocarbonyl, *N*-hydroxy-methanimidamidyl, mono- or di( $C_{1-4}$ alkyl)methanimidamidyl or, Het<sub>1</sub> or Het<sub>2</sub>; and  $R_2$  is hydrogen,  $C_{1-10}$ alkyl,  $C_{2-10}$ alkenyl,  $C_{3-7}$ cycloalkyl or  $C_{1-10}$ alkyl substituted with substituent selected from the group consisting of cyano,  $NR_{4a}R_{4b}$ , pyrrolidinyl, piperidinyl, 4-( $C_{1-4}$ alkyl)-piperazinyl, morpholinyl, aryl, imidazolyl, pyridyl, hydroxycarbonyl,  $N(R_{4a}R_{4b})$ carbonyl,  $C_{1-4}$ alkyloxycarbonyl or 4-( $C_{1-4}$ alkyl)-piperazin-1-ylcarbonyl; and  $R_3$  is nitro, cyano, amino, halo, hydroxy,  $C_{1-4}$ alkyloxy, hydroxycarbonyl, aminocarbonyl, aminothiocarbonyl,  $C_{1-4}$ alkyloxycarbonyl,  $C_{1-4}$ alkylcarbonyl, mono- or di( $C_{1-4}$ alkyl)methanimidamidyl, *N*-hydroxy-methanimidamidyl or Het<sub>1</sub>.
10. (Previously presented) A compound according to claim 1 wherein the compound has the formula (II)



11. (Previously presented) A compound according to claim 1 wherein  $R_3$  is nitro.
12. (Previously presented) A compound according to claim 1 wherein  $R_1$  is cyano.

13. (Previously presented) A compound according to claim 1 wherein  $R_1$  is  $C_{1-4}$ alkyloxycarbonyl or  $C_{1-4}$ alkylaminocarbonyl.
14. (Previously presented) A compound according to claim 1 wherein  $R_2$  is  $C_{2-6}$ alkyl.
15. (currently amended) A compound according to claim 1 wherein ~~the compound is~~  $n$  is 1,  
 $R_1$  is cyano, halo or oxadiazolyl optionally substituted with a substituent selected from the group consisting of  $C_{1-4}$ alkyl,  $C_{2-6}$ alkenyl,  $C_{3-7}$ cycloalkyl, hydroxy,  $C_{1-4}$ alkoxy, amino, cyano, trifluoromethyl, hydroxy $C_{1-4}$ alkyl, cyano $C_{1-4}$ alkyl, mono- or di( $C_{1-4}$ alkyl)amino, amino $C_{1-4}$ alkyl, mono- or di( $C_{1-4}$ alkyl)amino $C_{1-4}$ alkyl, aryl $C_{1-4}$ alkyl, amino $C_{2-6}$ alkenyl, mono- or di( $C_{1-4}$ alkyl)amino $C_{2-6}$ alkenyl, furanyl, thienyl, pyrrolyl, oxazolyl, thiazolyl, imidazolyl, isoxazolyl, isothiazolyl, pyrazolyl, oxadiazolyl, thiadiazolyl, triazolyl, tetrazolyl, aryl, hydroxycarbonyl, aminocarbonyl,  $C_{1-4}$ alkyloxycarbonyl, mono- or di( $C_{1-4}$ alkyl)aminocarbonyl,  $C_{1-4}$ alkylcarbonyl, oxo, thio; and wherein any of the foregoing furanyl, thienyl, pyrrolyl, oxazolyl, thiazolyl, imidazolyl, isoxazolyl, isothiazolyl, pyrazolyl, oxadiazolyl, thiadiazolyl and triazolyl moieties may optionally be substituted with  $C_{1-4}$ alkyl;  
 $R_2$  is  $C_{1-6}$ alkyl, hydrogen, or  $C_{2-6}$ alkenyl; and  
 $R_3$  is nitro,  $C_{1-6}$ alkyl optionally substituted with piperidinyl, pyrrolidinyl,  $N(R_{4a}R_{4b})$ , morpholinyl, pyridyl, cyano, or 4-( $C_{1-4}$ alkyl)-piperazin-1-yl.
16. (currently amended) A compound according to claim 1 wherein the compound is  
~~1-(4-Nitro-phenyl)-2-oxo-2,5-dihydro-1H-pyrido[3,2-b]indole-3-carbonitrile;~~  
~~5-Methyl-1-(4-nitro-phenyl)-2-oxo-2,5-dihydro-1H-pyrido[3,2-b]indole-3-carbonitrile;~~  
5-Isobutyl-1-(4-nitro-phenyl)-2-oxo-2,5-dihydro-1H-pyrido[3,2-b]indole-3-carbonitrile;  
5-Allyl-1-(4-nitro-phenyl)-2-oxo-2,5-dihydro-1H-pyrido[3,2-b]indole-3-carbonitrile;  
5-Butyl-1-(4-nitro-phenyl)-2-oxo-2,5-dihydro-1H-pyrido[3,2-b]indole-3-carbonitrile;  
5-Ethyl-1-(4-nitro-phenyl)-2-oxo-2,5-dihydro-1H-pyrido[3,2-b]indole-3-carbonitrile;  
5-(2-Morpholin-4-yl-ethyl)-1-(4-nitro-phenyl)-2-oxo-2,5-dihydro-1H-pyrido[3,2-b]indole-3-carbonitrile;  
5-Methyl-1-(4-nitro-phenyl)-1,5-dihydro-pyrido[3,2-b]indol-2-one;  
5-But-3-enyl-1-(4-nitro-phenyl)-2-oxo-2,5-dihydro-1H-pyrido[3,2-b]indole-3-carbonitrile;  
1-(4-Nitro-phenyl)-2-oxo-5-(2-pyrrolidin-1-yl-ethyl)-2,5-dihydro-1H-pyrido[3,2-b]indole-3-carbonitrile;

1-(4-Nitro-phenyl)-2-oxo-5-(2-piperidin-1-yl-ethyl)-2,5-dihydro-1H-pyrido[3,2-b]indole-3-carbonitrile;  
5-(3-Dimethylamino-propyl)-1-(4-nitro-phenyl)-2-oxo-2,5-dihydro-1H-pyrido[3,2-b]-indole-3-carbonitrile;  
3-Bromo-5-methyl-1-(4-nitro-phenyl)-1,5-dihydro-pyrido[3,2-b]indol-2-one  
5-Methyl-1-(3-nitro-phenyl)-2-oxo-2,5-dihydro-1H-pyrido[3,2-b]indole-3-carbonitrile;  
1-(4-Nitro-phenyl)-2-oxo-5-(3-piperidin-1-yl-propyl)-2,5-dihydro-1H-pyrido[3,2-b]indole-3-carbonitrile;  
5-(4-Morpholin-4-yl-butyl)-1-(4-nitro-phenyl)-2-oxo-2,5-dihydro-1H-pyrido[3,2-b]indole-3-carbonitrile;  
1-(4-Nitro-phenyl)-2-oxo-5-(4-pyrrolidin-1-yl-butyl)-2,5-dihydro-1H-pyrido[3,2-b]indole-3-carbonitrile;  
5-[3-(4-Methyl-piperazin-1-yl)-propyl]-1-(4-nitro-phenyl)-2-oxo-2,5-dihydro-1H-pyrido[3,2-b]indole-3-carbonitrile;  
5-Cyanomethyl-1-(4-nitro-phenyl)-2-oxo-2,5-dihydro-1H-pyrido[3,2-b]indole-3-carbonitrile;  
5-(3-Morpholin-4-yl-propyl)-1-(4-nitro-phenyl)-2-oxo-2,5-dihydro-1H-pyrido[3,2-b]-indole-3-carbonitrile;  
1-(4-Nitro-phenyl)-2-oxo-5-(4-piperidin-1-yl-butyl)-2,5-dihydro-1H-pyrido[3,2-b]indole-3-carbonitrile;  
5-(4-Dimethylamino-butyl)-1-(4-nitro-phenyl)-2-oxo-2,5-dihydro-1H-pyrido[3,2-b]indole-3-carbonitrile;  
1-(4-Nitro-phenyl)-2-oxo-5-pyridin-4-ylmethyl-2,5-dihydro-1H-pyrido[3,2-b]indole-3-carbonitrile;  
3-(5-tert-Butyl-[1,2,4]oxadiazol-3-yl)-5-methyl-1-(4-nitro-phenyl)-1,5-dihydro-pyrido[3,2-b]indol-2-one;  
5-Methyl-1-(4-nitro-phenyl)-3-(5-trifluoromethyl-[1,2,4]oxadiazol-3-yl)-1,5-dihydro-pyrido[3,2-b]indol-2-one; or an N-oxide, salt or stereoisomer thereof.

**17- 25. (Cancelled)**

26. (Previously presented) A pharmaceutical composition, comprising an effective amount of at least one compound of formula (I) as defined in claim 1 and a pharmaceutically tolerable excipient.

**27. (Cancelled)**